WO 2005/058421 PCT/GB2004/005440

CLAIMS

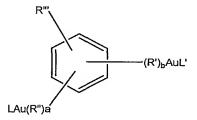
- 1. A pharmaceutical composition for the treatment of cancer comprising an effective amount of a compound having two gold(I) atoms each covalently bonded to a carbon atom in a covalent link connecting the two gold(I) atoms and a pharmaceutically acceptable excipient.
- 2. A pharmaceutical composition in accordance with claim 1, wherein said compound has a first gold(I) atom covalently bonded to a first carbon atom and a second gold(I) atom covalently bonded to a second carbon atom.
- 3. A pharmaceutical composition in accordance with claim 2, wherein said compound comprises a substituted or unsubstituted aromatic group as part of the covalent link.
- 4. A pharmaceutical composition in accordance with claim 2 or 3, wherein the first carbon atom is part of a substituted or unsubstituted aromatic group.
- 5. A pharmaceutical composition in accordance with claim 4, wherein the substituted or unsubstituted aromatic group is a substituted or unsubstituted phenyl group.
- 6. A pharmaceutical composition in accordance with any one of claims 2 to 5, wherein the second carbon atom is part of a substituted or unsubstituted alkyl, alkene, alkyne, aryl or aromatic group.
- 7. A pharmaceutical composition in accordance with claim 6, wherein the aromatic group of which the second carbon atom is a part is a substituted or unsubstituted phenyl group.
- 8. A pharmaceutical composition in accordance with any one of claims 2 to 7, wherein said compound incorporates a moiety having the formula:

$$Au^{1}$$
— C^{1} — Z_{n} — C^{2} — Au^{2}

where: Au^1 is said first gold (I) atom; Au^2 is said second gold (I) atom; C^1 is said first carbon atom; C^2 is said second carbon atom; Z is a linking group; and n is 0 or 1.

WO 2005/058421 PCT/GB2004/005440

- 9. A pharmaceutical composition in accordance with any preceding claim, wherein said compound comprises a ligand bonded to each of said gold(I) atoms, each of said ligands being individually selected from the group consisting of PR₃, P(OR)₃, CNR, NCR, PR_n(CH₂OR[‡])_{3-n}, N₄C₆H₁₂, [N₄C₆H₁₂-N-CH₃]⁺, PN₃C₆H₁₂, and P[N₃C₆H₁₂-N-CH₃]⁺, where R is a substituted or unsubstituted hydrocarbon moiety and R[‡] is selected from the group consisting of H, Me, SO₂⁻, PO₃⁻, alkyl and aryl, and each R[‡] in any one ligand is the same or different.
- 10. A pharmaceutical composition in accordance with claim 9, wherein R is a substituted or unsubstituted alkyl, alkene, alkyne, aryl or aromatic group and each R in any one ligand is the same or different.
- 11. A pharmaceutical composition in accordance with claim 9 or 10, wherein R is selected from the group consisting of methyl, ethyl, propyl, butyl and phenyl groups.
- 12. A pharmaceutical composition in accordance with claim 9, 10 or 11, wherein the ligand is PPh₃.
- 13. A pharmaceutical composition in accordance with any one of claims 1 to 7, wherein said compound has the formula:



where: L and L' are ligands; R' and R" are substituted or unsubstituted divalent hydrocarbon moieties; a is 0 or 3; b is 0 or 3; R"' is H, SO₃-, PO₄²-, CO₂H, OH, (CH₂)_nCH₃, O(CH₂)_nCH₃, S(CH₂)_nCH₃, or NR""C(O)(R""') where R"" and R"" are (CH₂)_nCH₃; and n is 0 to 6.

WO 2005/058421 PCT/GB2004/005440 37

14. A pharmaceutical composition in accordance with claim 13, wherein said compound has a formula selected from the group consisting of:

15. A pharmaceutical composition in accordance with any one of claims 1 to 7, wherein said compound has the formula:

$$R^{""}$$
 $(CH_2)_n$
 $(R')_bAuL'$

where: L and L' are ligands; R' and R" are substituted or unsubstituted divalent hydrocarbon moieties; a is 0 or 3; b is 0 or 3; R" is H, SO₃-, PO₄²-, CO₂H, OH, $(CH_2)_nCH_3$, $O(CH_2)_nCH_3$, $S(CH_2)_nCH_3$, or NR''''C(O)(R'''') where R'''' and R''''' are $(CH_2)_nCH_3$; and n is 0 to 6.

16. A pharmaceutical composition in accordance with any one of claims 1 to 7, wherein said compound has the formula:

$$R^{""}$$
 $(CH_2)_n$ X $(CH_2)_m$ $R^{""}$ $(R')_b$ AuL'

where: L and L' are ligands; R' and R" are substituted or unsubstituted divalent hydrocarbon moieties; a is 0 or 3; b is 0 or 3; R" is H, SO₃-, PO₄²-, CO₂H, OH, (CH₂)_nCH₃, O(CH₂)_nCH₃, or NR""C(O)(R""") where R"" and R""" are (CH₂)_nCH₃; and n is 0 to 6; and X is a linking group.

- 17. A pharmaceutical composition in accordance with claim 16, wherein X is selected from the group consisting of: O, S, PR or NR in which R is a substituted or unsubstituted hydrocarbon moiety.
- 18. A pharmaceutical composition in accordance with any one of claims 1 to 7, wherein said compound has the formula:

where: L and L' are ligands; R' and R" are substituted or unsubstituted divalent hydrocarbon moieties; a is 0 or 3; b is 0 or 3; R" is H, SO_3^- , PO_4^{2-} , CO_2H , OH, $(CH_2)_nCH_3$, $O(CH_2)_nCH_3$, $S(CH_2)_nCH_3$, or NR''''C(O)(R''''') where R'''' and R''''' are $(CH_2)_nCH_3$; and n is 0 to 6.

19. A pharmaceutical composition in accordance with any one of claims 1 to 7, wherein said compound has the formula:

Where Y is selected from the group consisting of (R')_bAuL' and

where: L and L' are ligands; R' and R" are substituted or unsubstituted divalent hydrocarbon moieties; a is 0 or 3; b is 0 or 3; R" is H, SO_3 , PO_4^{2-} , CO_2H , OH, $(CH_2)_nCH_3$, $O(CH_2)_nCH_3$, $O(CH_2)_nCH_3$, or NR''''C(O)(R''''') where R'''' and R''''' are $(CH_2)_nCH_3$; and n is 0 to 6.

- 20. A pharmaceutical composition in accordance with any one of claims 13 to 19, wherein L and L' are independently selected from the group consisting of PR₃, P(OR)₃, CNR, NCR, PR_n(CH₂OR[‡])_{3-n}, N₄C₆H₁₂, [N₄C₆H₁₂-N-CH₃]⁺, PN₃C₆H₁₂, and P[N₃C₆H₁₂-N-CH₃]⁺, where R is a substituted or unsubstituted hydrocarbon moiety and R[‡] is selected from the group consisting of H, Me, SO₂⁻, PO₃⁻, alkyl and aryl, and each R[‡] in any one ligand is the same or different.
- 21. A pharmaceutical composition in accordance with claim 20, wherein R is a substituted or unsubstituted alkyl, alkene, alkyne, aryl or aromatic group and each R in any one ligand is the same or different.
- 22. A pharmaceutical composition in accordance with claim 20 or 21, wherein R is selected from the group consisting of methyl, ethyl, propyl, butyl and phenyl groups.

- 23. A pharmaceutical composition in accordance with claim 20, 21 or 22, wherein the ligand is PPh₃.
- 24. A pharmaceutical composition in accordance with any one of claims 13 to 23, wherein R' and R" are each independently selected from the group consisting of methylene, ethylene, propylene, butylene and phenylene groups.
- 25. A compound having two gold(I) atoms each covalently bonded to a carbon atom in a covalent link connecting the two gold(I) atoms for use as a chemotherapeutic agent.
- 26. Use of a compound having two gold(I) atoms each covalently bonded to a carbon atom in a covalent link connecting the two gold(I) atoms in the preparation of a medicament for the treatment of cancer.
- 27. Use of a compound in accordance with claim 26, wherein the cancer is resistant to a platinum drug.
- 28. Use of a compound in accordance with claim 27, wherein the cancer is resistant to cisplatinum and/or carboplatinum.
- 29. Use of a compound in accordance with claim 26, 27 or 28, wherein the cancer is ovarian or lung cancer.
- 30. Use of a compound in accordance with any one of claims 26 to 29, wherein said compound is defined in accordance with any one of claims 1 to 24.
- 31. A method of treating a cancer in a human or animal patient comprising administering to said patient a therapeutically effective amount of a compound having two gold(I) atoms each covalently bonded to a carbon atom in a covalent link connecting the two gold(I) atoms.
- 32. A method in accordance with claim 31, wherein the cancer is resistant to a platinum drug.

- 33. A method in accordance with claim 32, wherein the cancer is resistant to cisplatinum and/or carboplatinum.
- 34. A method in accordance with claim 31, 32 or 33, wherein the cancer is ovarian or lung cancer.
- 35. A method in accordance with any one of claims 31 to 34, wherein said compound is defined in accordance with any one of claims 1 to 24.
- 36. A pharmaceutical composition for the treatment of cancer comprising an effective amount of a compound having a first gold atom which is a gold(III) atom and a second gold atom which is either a gold(I) atom or a gold(III) atom, each of said first and second gold atoms being covalently bonded to a carbon atom in a covalent link connecting the first and second gold atoms, and the or each gold(III) atom being reducible, *in vivo*, to a gold(I) atom, and a pharmaceutically acceptable excipient.
- 37. A pharmaceutical composition in accordance with claim 36, wherein said second gold atom is a gold(III) atom.
- 38. A compound having a first gold atom which is a gold(III) atom and a second gold atom which is either a gold(I) atom or a gold(III) atom, each of said first and second gold atoms being covalently bonded to a carbon atom in a covalent link connecting the first and second gold atoms, and the or each gold(III) atom being reducible, *in vivo*, to a gold(I) atom for use as a chemotherapeutic agent.
- 39. Use of a compound having a first gold atom which is a gold(III) atom and a second gold atom which is either a gold(I) atom or a gold(III) atom, each of said first and second gold atoms being covalently bonded to a carbon atom in a covalent link connecting the first and second gold atoms, and the or each gold(III) atom being reducible, *in vivo*, to a gold(I) atom in the preparation of a medicament for the treatment of cancer.
- 40. A method of treating a cancer in a human or animal patient comprising administering to said patient a therapeutically effective amount of a compound having a first gold atom which is a gold(III) atom and a second gold atom which is either a gold(I) atom or a

WO 2005/058421 PCT/GB2004/005440 42

gold(III) atom, each of said first and second gold atoms being covalently bonded to a carbon atom in a covalent link connecting the first and second gold atoms, and the or each gold(III) atom being reducible, *in vivo*, to a gold(I) atom.